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L3 HAS NO ANSWERS
L3                STR
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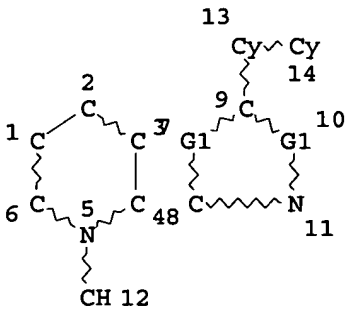


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE


=> s l3 ful
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FULL SCREEN SEARCH COMPLETED -   188818 TO ITERATE

100.0% PROCESSED   188818 ITERATIONS                          227 ANSWERS
SEARCH TIME: 00.00.04

L5          227 SEA SSS FUL L3
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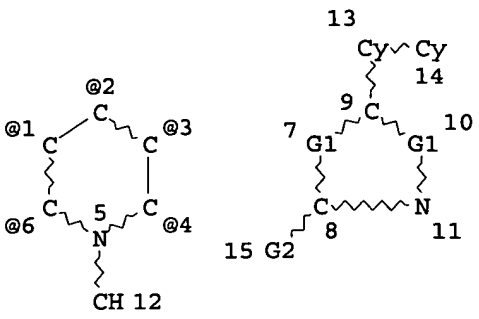

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VAR G2=1/2/3/4/6
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 4
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

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ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):
ENTER SUBSET L# OR (END):
ENTER SUBSET L# OR (END):
ENTER SUBSET L# OR (END):l5
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 10:03:44 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS 21 ANSWERS
SEARCH TIME: 00.00.01

L7 21 SEA SUB=L5 SSS FUL L6

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	210.44	210.65

FILE 'CAPLUS' ENTERED AT 10:03:48 ON 06 JUL 2006
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FILE COVERS 1907 - 6 Jul 2006 VOL 145 ISS 2
FILE LAST UPDATED: 5 Jul 2006 (20060705/ED)

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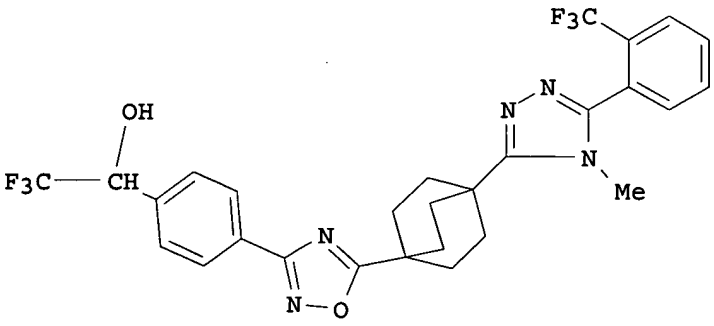
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l7
L8 5 L7

=> d bib abs hitstr 1-5

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1144498 CAPLUS
DN 143:432021
TI Discovery of 4-heteroarylbicyclo[2.2.2]octyltriazoles as potent and selective inhibitors of 11β-HSD1: Novel therapeutic agents for the treatment of metabolic syndrome
AU Gu, Xin; Dragovic, Jasminka; Koo, Gloria C.; Koprak, Sam L.; LeGrand, Cheryl; Mundt, Steven S.; Shah, Kashmira; Springer, Marty S.; Tan, Eugene Y.; Thieringer, Rolf; Hermanowski-Vosatka, Anne; Zokian, Hratch J.; Balkovec, James M.; Waddell, Sherman T.
CS Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(23), 5266-5269
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI



I

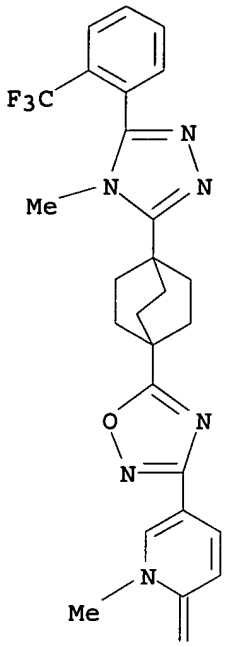
AB Heteroaryl substituted bicyclo[2.2.2]octyltriazoles are potent and selective 11β-hydroxysteroid dehydrogenase type I inhibitors with excellent pharmacokinetic profiles. The trifluoromethyl carbinol derivative I had superior in vitro activity and excellent in vivo activity.
IT 868783-74-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heteroarylbicyclo[2.2.2]octyltriazoles as potent and selective inhibitors of 11β-HSD1)

RN 868783-74-8 CAPLUS

CN 2(1H)-Pyridinone, 1-methyl-5-[5-[4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:423718 CAPLUS

DN 142:482046

TI Preparation of triazole compounds as 11β-hydroxysteroid dehydrogenase 1 inhibitors

IN Cardozo, Mario G.; Powers, Jay P.; Goto, Hiroyuki; Harada, Kazuhito; Imamura, Katsuaki; Kakutani, Makoto; Matsuda, Isamu; Ohe, Yasuhiro; Yata, Shinji

PA Amgen SF LLC, USA; Japan Tobacco, Inc.

SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005044192	A2	20050519	WO 2004-US35805	20041027
	WO 2005044192	A3	20050909		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-515537P P 20031028
OS MARPAT 142:482046

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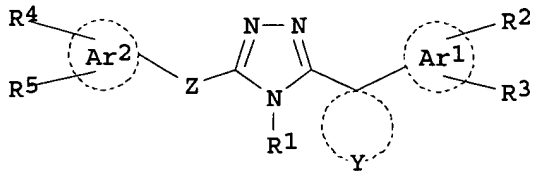

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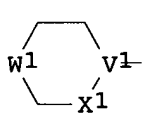

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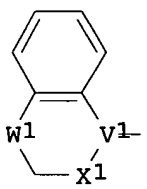

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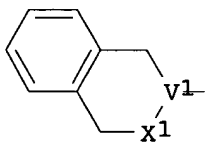
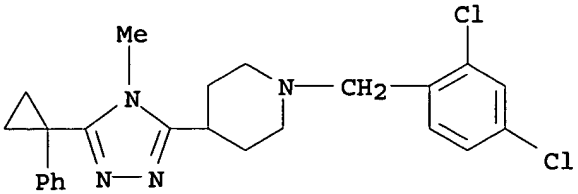


AB The present invention provides triazole compds. of the following formula (I)or prodrugs thereof or pharmaceutically acceptable salts thereof [R1 = (un)substituted alkyl or cycloalkyl; Y = each (un)substituted cycloalkyl or heterocycloalkyl; Ar1 = aryl, heteroaryl; R2, R3 = H, halo, haloalkyl, alkyl group, (CH2)nOH, -N(R9)(R10), cyano, NO2, alkoxy, cycloalkyl, alkenyl, COR11, each (un)substituted aryl or heteroaryl group [wherein R9, R10 = H, alkyl,alkylcarbonyl; R11 = OH, alkoxy, alkyl, (un)substituted NH2; n = 0-3]; Z = [CH(R14)]p, [CH(R14)]p-N(R16)[CH(R15)]q, each (un)substituted cycloalkylidene or heterocycloalkylidene [wherein p, q = 0-3; R14, R15 = group listed in R9 and R10]; Ar2 = aryl, heteroaryl, Q, Q1, Q2 [wherein X1 = (CH2)t; t = 0-2; V1 = :CH, :N; W1 = (un)substituted CH2, O, S, SO2, SO, CO, (un)substituted NH]; R4, R5 = H, halo, OH, NO2, cyano, alkyl, alkoxy, COR27, SO2R27, each (un)substituted CONH2 or NH2; R27 = OH, alkoxy, alkyl, NH2, alkylamino, dialkylamino]. These triazole compds. are 11β-hydroxysteroid dehydrogenase 1-(11β-HSD1 or HSD1) and useful as therapeutic drugs for the treatment of diabetes, obesity or metabolic syndrome. Thus, Me N-methyl-4-phenylpiperidine-1-imidethiocarboxylate hydroiodide (452 mg) and 1-phenylcyclopropane carbohydrazide (176 mg) were suspended in 1,4-dioxane (2 mL) and water (0.4 mL) and sodium acetate (98 mg) were added and the mixture was heated under reflux overnight to give, after workup and silica gel chromatog., 117 mg 1-[4-methyl-5-(1-phenylcyclopropyl)-4H-[1,2,4]triazol-3-yl]-4-phenylpiperidine hydrochloride (II). II showed IC50 of <10 nM against human HSD1.

IT 851765-16-7P 851766-15-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazole compds. as 11β-hydroxysteroid dehydrogenase 1 inhibitors for treatment of diabetes, obesity or metabolic syndrome)

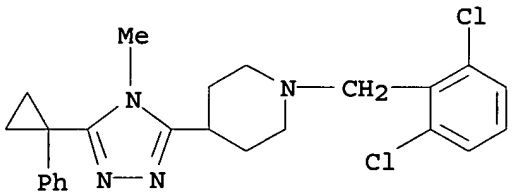
RN 851765-16-7 CAPLUS

CN Piperidine, 1-[(2,4-dichlorophenyl)methyl]-4-[4-methyl-5-(1-phenylcyclopropyl)-4H-1,2,4-triazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 851766-15-9 CAPLUS
CN Piperidine, 1-[(2,6-dichlorophenyl)methyl]-4-[4-methyl-5-(1-phenylcyclopropyl)-4H-1,2,4-triazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:534172 CAPLUS
DN 141:89090
TI Preparation of aryloxadiazols and related compounds as histamine H3 receptor antagonist.
IN Sorensen, Jan Lindy; Andersen, Knud Erik; Pettersson, Ingrid
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004054973	A2	20040701	WO 2003-DK897	20031218
	WO 2004054973	A3	20040819		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2005107434	A1	20050519	US 2003-735963	20031215
	AU 2003287914	A1	20040709	AU 2003-287914	20031218
	EP 1585515	A2	20051019	EP 2003-779754	20031218
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	JP 2006514105	T2	20060427	JP 2005-502412	20031218
PRAI	DK 2002-1932	A	20021218		
	DK 2003-484	A	20030331		
	US 2002-434253P	P	20021218		
	US 2003-460777P	P	20030404		
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OS MARPAT 141:89090

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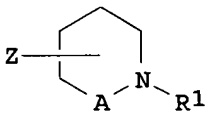

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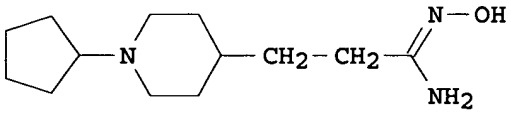

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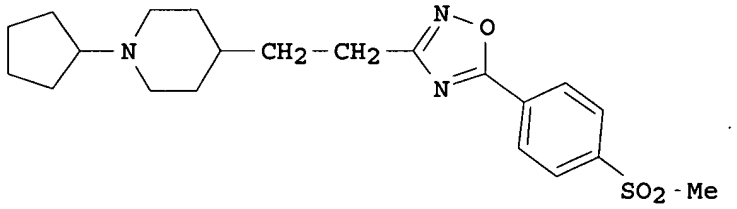


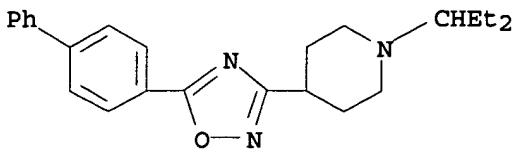
III

AB Title compds. I [A = (CH2)r; r = 0-2; Z = (CH2)s-X-(CH2)t-Y-R4; s = 0-3; T = 0-3; X = CO, CHOH, CR2R3, etc.; R2, R3 = H, alkyl; Y = (un)substituted heteroaryl R1 = (un)substituted alkyl, alkenyl, alkynyl;] and their formulations and pharmaceutically acceptable salts were prepared For example, condensation of 4-methanesulfonylbenzoyl chloride and N-hydroxypropionamidine II, e.g., prepared from 4-piperidineethanol in 3-steps, afforded the hydrochloride of piperidinyloxadiazol III. In human histamine H3 receptor binding assays, compds. I generally show a high binding affinity to the histamine H3 receptor. Of note, compds. I possess histamine H3 receptor antagonistic activity and are useful in the treatment of disorders in which a histamine H3 receptor blockade is beneficial.

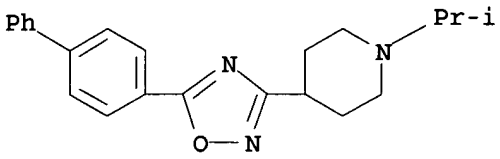
IT 713147-02-5P 713147-22-9P 713147-25-2P
713147-33-2P 713147-34-3P 713147-36-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryloxadiazols and related compds. as histamine H3 receptor antagonist.)

RN 713147-02-5 CAPLUS

CN Piperidine, 4-(5-[1,1'-biphenyl]-4-yl-1,2,4-oxadiazol-3-yl)-1-(1-ethylpropyl)- (9CI) (CA INDEX NAME)

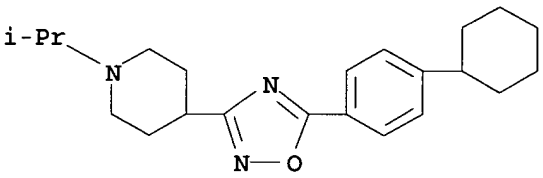


RN 713147-22-9 CAPLUS
CN Piperidine, 4-(5-[1,1'-biphenyl]-4-yl-1,2,4-oxadiazol-3-yl)-1-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 713147-25-2 CAPLUS
CN Piperidine, 4-[5-(4-cyclohexylphenyl)-1,2,4-oxadiazol-3-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 713147-33-2 CAPLUS
CN Piperidine, 4-(5-[1,1'-biphenyl]-4-yl-1,2,4-oxadiazol-3-yl)-1-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 713147-32-1
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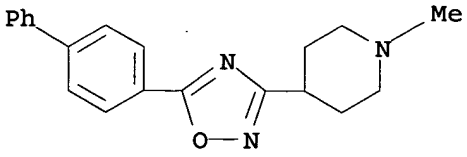
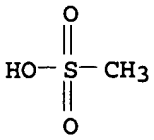
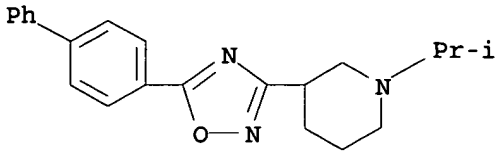


CM 2

CRN 75-75-2
CMF C H4 O3 S



RN 713147-34-3 CAPLUS
CN Piperidine, 3-(5-[1,1'-biphenyl]-4-yl-1,2,4-oxadiazol-3-yl)-1-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 713147-36-5 CAPLUS
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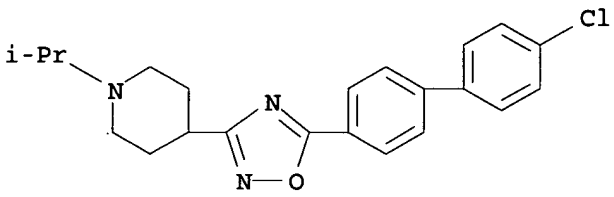

● HCl

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:892800 CAPLUS
DN 139:395950
TI Preparation of substituted pyrazines as protein kinase modulators
IN Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai, Zerom; Wang, Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed Abdulkader; Schnepp, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Thimothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy
PA Exelixis, Inc., USA
SO PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093297	A2	20031113	WO 2003-US13869	20030502
	WO 2003093297	A3	20040701		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2484209	AA	20031113	CA 2003-2484209		20030502
AU 2003234464	A1	20031117	AU 2003-234464		20030502
EP 1501514	A2	20050202	EP 2003-728690		20030502

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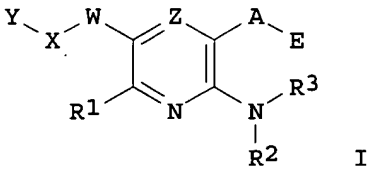
JP 2005530760	T2	20051013	JP 2004-501436	20030502
PRAI US 2002-377933P	P	20020503		
WO 2003-US13869	W	20030502		

OS MARPAT 139:395950

GI



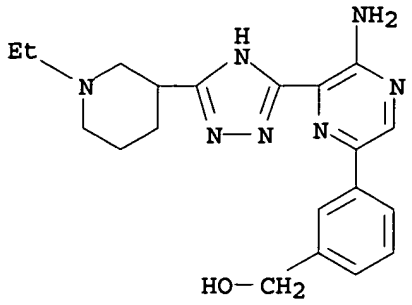
I

AB This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. containing such compds. Even more specifically, the invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chk1. Preparation of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (preparation given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)pyrazine-2-carboxamide which showed IC50 of 10,000 nM or greater against Chk1. Table presenting activity data with respect to Chk1 for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds.

IT 625466-76-4P 625466-77-5P 625466-80-0P
625466-81-1P 625467-58-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of protein kinase modulators)

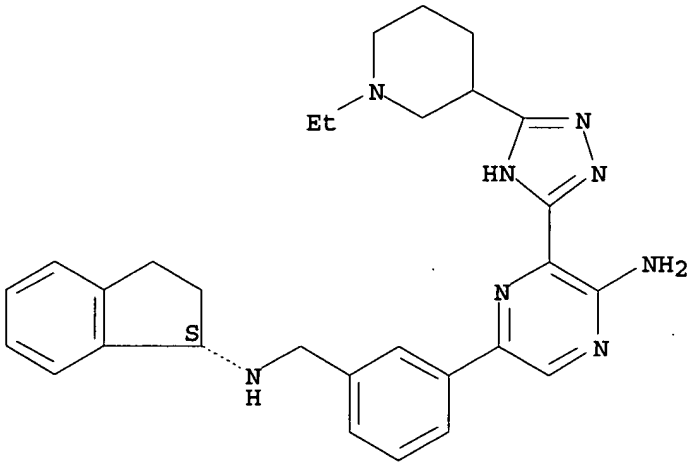
RN 625466-76-4 CAPLUS

CN Benzenemethanol, 3-[5-amino-6-[5-(1-ethyl-3-piperidinyl)-1H-1,2,4-triazol-3-yl]pyrazinyl]- (9CI) (CA INDEX NAME)

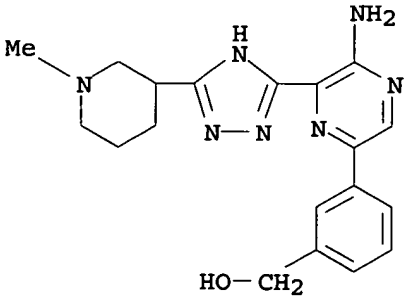


RN 625466-77-5 CAPLUS
CN Pyrazinamine, 5-[3-[[[(1S)-2,3-dihydro-1H-inden-1-yl]amino]methyl]phenyl]-3-[5-(1-ethyl-3-piperidinyl)-1H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



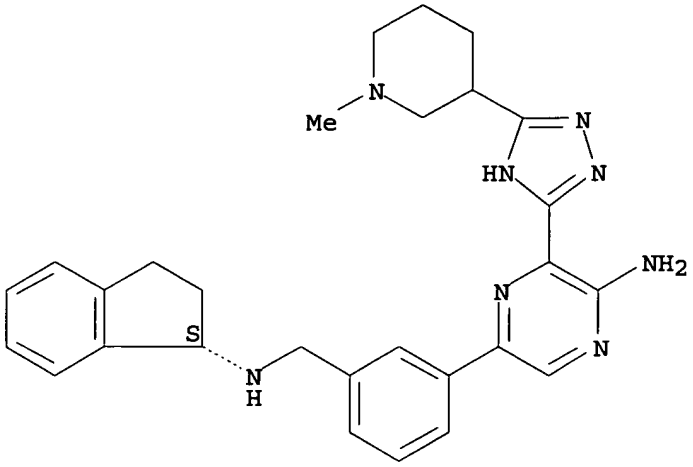
RN 625466-80-0 CAPLUS
CN Benzenemethanol, 3-[5-amino-6-[5-(1-methyl-3-piperidinyl)-1H-1,2,4-triazol-3-yl]pyrazinyl]- (9CI) (CA INDEX NAME)



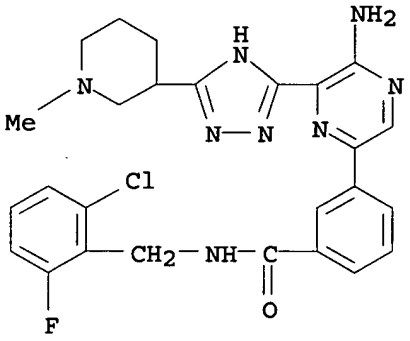
RN 625466-81-1 CAPLUS
CN Pyrazinamine, 5-[3-[[[(1S)-2,3-dihydro-1H-inden-1-yl]amino]methyl]phenyl]-3-[5-(1-methyl-3-piperidinyl)-1H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.



RN 625467-58-5 CAPLUS
CN Benzamide, 3-[5-amino-6-[5-(1-methyl-3-piperidinyl)-1H-1,2,4-triazol-3-yl]pyrazinyl]-N-[(2-chloro-6-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:851132 CAPLUS
DN 136:5994
TI Preparation of triazole derivatives as glycine transporter inhibitors useful as learning improving agents
IN Tobe, Takahiko; Sugane, Takashi; Hamaguchi, Wataru; Shimada, Itsuro; Maeno, Kyoichi; Miyata, Junji; Kimizuka, Tetsuya; Suzuki, Takeshi; Kohara, Atsuyuki; Morita, Takuma; Arlt, Michael; Greiner, Hartmut
PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck Patent Gesellschaft mit Beschrankter Haftung
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001087855	A1	20011122	WO 2001-JP4128	20010517

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001056769	A5	20011126	AU 2001-56769		20010517
CA 2409819	AA	20021118	CA 2001-2409819		20010517
EP 1293503	A1	20030319	EP 2001-930192		20010517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001010961	A	20040629	BR 2001-10961		20010517
NO 2002005517	A	20021118	NO 2002-5517		20021118
US 2003216385	A1	20031120	US 2002-276720		20021118
ZA 2002010245	A	20040318	ZA 2002-10245		20021218
US 2004214818	A1	20041028	US 2004-848386		20040519
US 7034047	B2	20060425			
US 2006025461	A1	20060202	US 2005-232011		20050922
PRAI JP 2000-148419	A	20000519			
JP 2001-47921	A	20010223			
WO 2001-JP4128	W	20010517			
US 2002-276720	A3	20021118			
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OS MARPAT 136:5994

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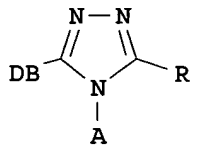

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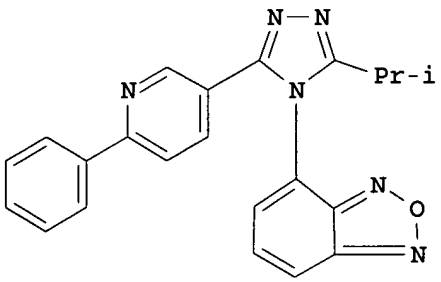


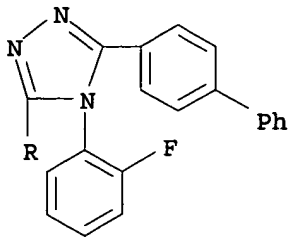
II

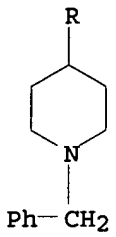
AB Title compds. [I; A = aryl, heterocycly, cycloalkyl; B = aryl, pyridyl; D = aryl; R = H, CH3, CH3CH2, (CH3)2CH, CH3(CH2)2, CH3O(CH2)3, CH3CH2NH, (CH3)2N, CH3OCH2CH2NH], having glycine transporter inhibitory activity, are prepared for remedies as learning improving agents. Thus, the title compound II was prepared and biol. tested.

IT 374888-47-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazole derivs. as glycine transporter inhibitors)

RN 374888-47-8 CAPLUS

CN Piperidine, 4-[5-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-4H-1,2,4-triazol-3-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)





RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2000:136274 CAPLUS
DN 132:166239
TI Preparation of triazoles as arginine vasopressin V1 receptor antagonists, and pharmaceuticals containing them
IN Suzuki, Takeshi; Tobe, Takahiko; Murakami, Takeshi; Tahara, Atsuo
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000063363	A2	20000229	JP 1998-228403	19980812 <--
PRAI	JP 1998-228403		19980812		

OS MARPAT 132:166239
PI JP 2000063363 A2 20000229

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000063363	A2	20000229	JP 1998-228403	19980812 <--

AB Triazoles I (ring A = benzene or thiophene ring; ring B = aryl, heterocyclyl; R1 = H, halo, NO2, NH2, lower alkyl; R2 = alkyl, halo, OH, Ph, alkoxy, alkynyl, amino, etc.; R3 = H, lower alkyl; R4 = lower alkyl, alkoxy, alkylsulfonyl, halo, amino, cyano, trihalomethyl, nitro; X = bond, O, NHCO, etc.; m = 1-3) or their salts, useful for treatment of diabetic nephropathy, are prepared 2-(4'-Biphenyl)-1,3,4-oxadiazole was treated with o-anisidine at 150° for 12 h to give 12% 4-(2-methoxyphenyl)-3-(4'-biphenyl)-1,2,4-triazole.
ST triazole prepn arginine vasopressin antagonist; diabetic nephropathy treatment triazole prepn
IT Kidney, disease
(diabetic nephropathy, treatment; preparation of triazoles as arginine vasopressin V1 receptor antagonists)
IT 258877-88-2P 258877-90-6P 258877-91-7P 258877-92-8P 258877-93-9P
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258878-04-5P 258878-05-6P 258878-06-7P 258878-07-8P 258878-08-9P
258878-09-0P 258878-10-3P 258878-11-4P 258878-12-5P 258878-13-6P
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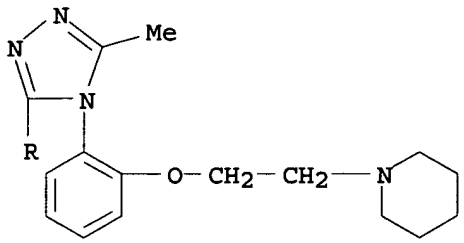
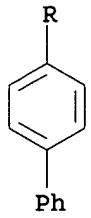
258879-07-1P 258879-08-2P 258879-09-3P 258879-10-6P 258879-11-7P
258879-12-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazoles as arginine vasopressin V1 receptor antagonists)
IT 258878-72-7P 258878-74-9P 258878-76-1P
258878-78-3P 258878-80-7P 258878-89-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazoles as arginine vasopressin V1 receptor antagonists)

RN 258878-72-7 CAPLUS

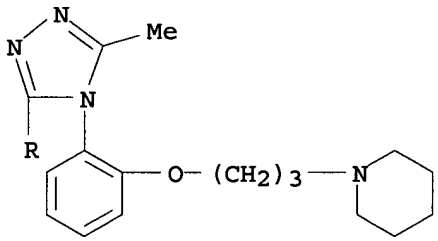
CN Piperidine, 1-[2-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)phenoxy]ethyl]- (9CI) (CA INDEX NAME)

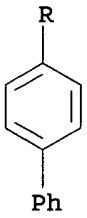




RN 258878-74-9 CAPLUS

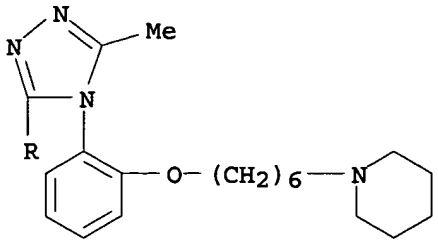
CN Piperidine, 1-[3-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)phenoxy]propyl]- (9CI) (CA INDEX NAME)

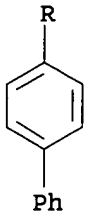




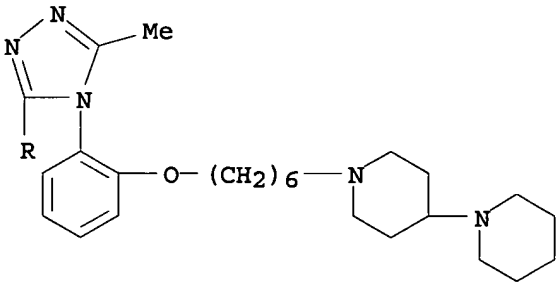
RN 258878-76-1 CAPLUS

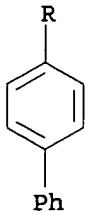
CN Piperidine, 1-[6-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)phenoxy]hexyl]- (9CI) (CA INDEX NAME)





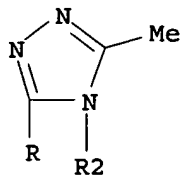
RN 258878-78-3 CAPLUS
CN 1,4'-Bipiperidine, 1'-[6-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)phenoxy]hexyl]- (9CI) (CA INDEX NAME)

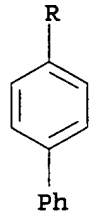




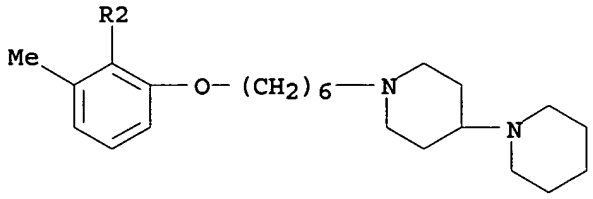
RN 258878-80-7 CAPLUS
CN 1,4'-Bipiperidine, 1'-[6-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)-3-methylphenoxy]hexyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

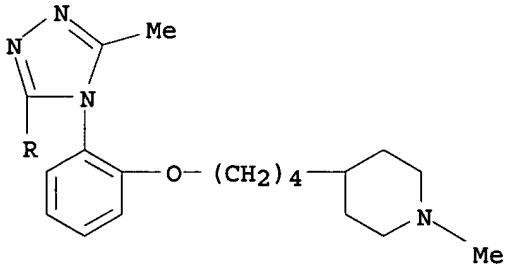




PAGE 2-A



RN 258878-89-6 CAPLUS
CN Piperidine, 4-[4-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)phenoxy]butyl]-1-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



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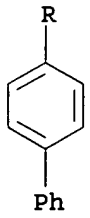

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L4           1 S L3
L5         227 S L3 FUL
L6             STRUC
L7          21 SEARCH L6 SSS  SUB=L5 FUL

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L12          5 S L11 AND PY<2003
L13          5 S L11 NOT L12
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L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:136274 CAPLUS
DN 132:166239
TI Preparation of triazoles as arginine vasopressin V1 receptor antagonists, and pharmaceuticals containing them
IN Suzuki, Takeshi; Tobe, Takahiko; Murakami, Takeshi; Tahara, Atsuo
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000063363	A2	20000229	JP 1998-228403	19980812 <--

PRAI JP 1998-228403 19980812
OS MARPAT 132:166239
PI JP 2000063363 A2 20000229

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000063363	A2	20000229	JP 1998-228403	19980812 <--

AB Triazoles I (ring A = benzene or thiophene ring; ring B = aryl, heterocyclyl; R1 = H, halo, NO2, NH2, lower alkyl; R2 = alkyl, halo, OH, Ph, alkoxy, alkynyl, amino, etc.; R3 = H, lower alkyl; R4 = lower alkyl, alkoxy, alkylsulfonyl, halo, amino, cyano, trihalomethyl, nitro; X = bond, O, NHCO, etc.; m = 1-3) or their salts, useful for treatment of diabetic nephropathy, are prepared 2-(4'-Biphenyl)-1,3,4-oxadiazole was treated with o-anisidine at 150° for 12 h to give 12% 4-(2-methoxyphenyl)-3-(4'-biphenyl)-1,2,4-triazole.
ST triazole prepn arginine vasopressin antagonist; diabetic nephropathy treatment triazole prepn
IT Kidney, disease
(diabetic nephropathy, treatment; preparation of triazoles as arginine vasopressin V1 receptor antagonists)
IT 258877-88-2P 258877-90-6P 258877-91-7P 258877-92-8P 258877-93-9P
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258879-12-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazoles as arginine vasopressin V1 receptor antagonists)
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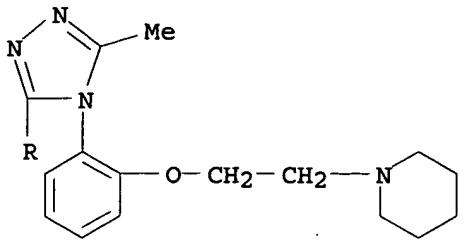
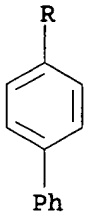
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazoles as arginine vasopressin V1 receptor antagonists)

RN 258878-72-7 CAPLUS

CN Piperidine, 1-[2-[2-(3-[1,1'-biphenyl]-4-yl-5-methyl-4H-1,2,4-triazol-4-yl)phenoxy]ethyl]- (9CI) (CA INDEX NAME)





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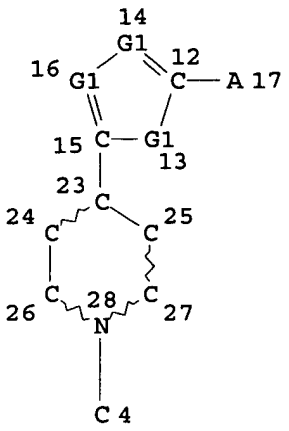


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SEARCH TIME: 00.00.06

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L1   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2006 ACS on STN
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DN   125:301002
TI   Preparation of colon motility-increasing oxadiazoles
IN   Bosmans, Jean-Paul Rene Marie Andre
PA   Janssen Pharmaceutica N.V., Belg.
SO   PCT Int. Appl., 23 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
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=> fil re
'RE' IS AN AMBIGUOUS FILE OR CLUSTER NAME
 REACTION          - Reactions Cluster
 RESEARCH          - Research Cluster
 REGISTRY          - The CAS Registry File of substances
ENTER FILE OR CLUSTER NAME (IGNORE):reg
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
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FULL ESTIMATED COST 14.40 14.61

FILE 'REGISTRY' ENTERED AT 14:50:35 ON 26 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUN 2006 HIGHEST RN 889359-45-9
DICTIONARY FILE UPDATES: 25 JUN 2006 HIGHEST RN 889359-45-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

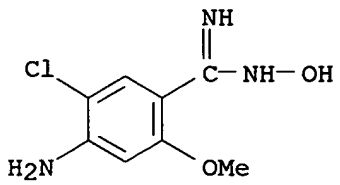
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> s l2
L3 31 L2

=> d scan

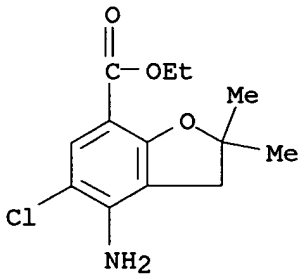
L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzenecarboximidamide, 4-amino-5-chloro-N-hydroxy-2-methoxy-, monohydrochloride (9CI)
MF C8 H10 Cl N3 O2 . Cl H



● HCl

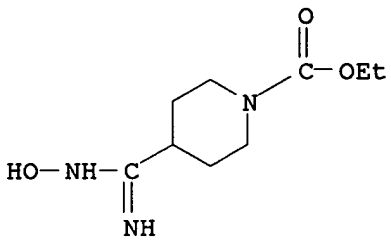
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):30

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 7-Benzofurancarboxylic acid, 4-amino-5-chloro-2,3-dihydro-2,2-dimethyl-, ethyl ester (9CI)
MF C13 H16 Cl N O3



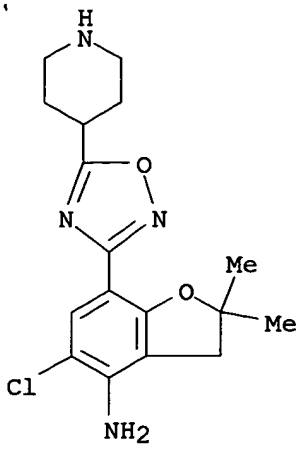
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1-Piperidinecarboxylic acid, 4-[(hydroxyamino)iminomethyl]-, ethyl ester (9CI)
MF C9 H17 N3 O3
CI COM



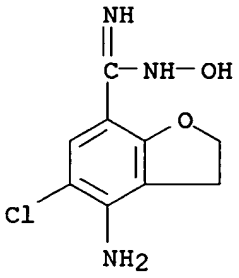
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 4-Benzofuranamine, 5-chloro-2,3-dihydro-2,2-dimethyl-7-[5-(4-piperidinyl)-1,2,4-oxadiazol-3-yl]- (9CI)
MF C17 H21 Cl N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 7-Benzofurancarboximidamide, 4-amino-5-chloro-2,3-dihydro-N-hydroxy-, monohydrochloride (9CI)
MF C9 H10 Cl N3 O2 . Cl H



● HCl

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
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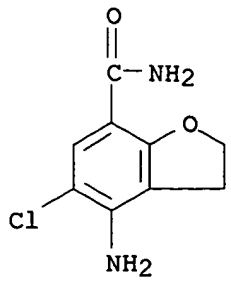
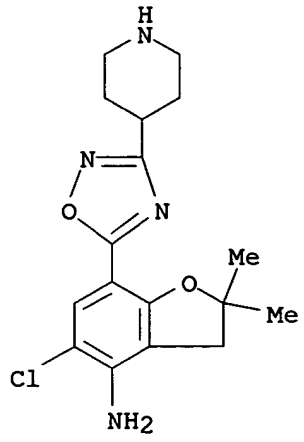
MF C9 H9 Cl N2 O2



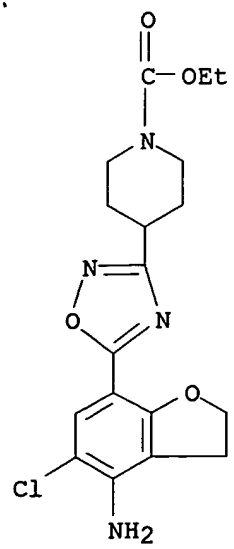
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 4-Benzofuranamine, 5-chloro-2,3-dihydro-2,2-dimethyl-7-[3-(4-piperidinyl)-1,2,4-oxadiazol-5-yl]- (9CI)
MF C17 H21 Cl N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1-Piperidinecarboxylic acid, 4-[5-(4-amino-5-chloro-2,3-dihydro-7-benzofuranyl)-1,2,4-oxadiazol-3-yl]-, ethyl ester (9CI)
MF C18 H21 Cl N4 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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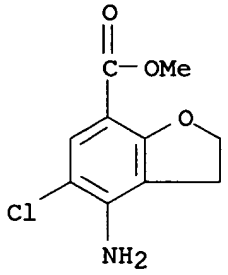
IN 7-Benzofurancarboxylic acid, 4-amino-5-chloro-2,3-dihydro-, methyl ester (9CI)
MF C10 H10 Cl N O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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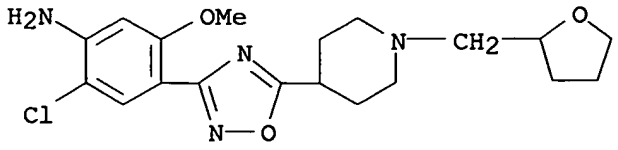
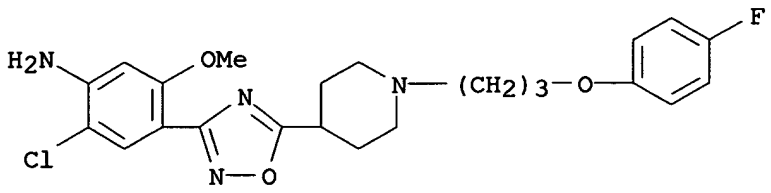
IN Benzenamine, 2-chloro-5-methoxy-4-[5-[1-[(tetrahydro-2-furanyl)methyl]-4-piperidinyl]-1,2,4-oxadiazol-3-yl]- (9CI)
MF C19 H25 Cl N4 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzenamine, 2-chloro-4-[5-[1-[3-(4-fluorophenoxy)propyl]-4-piperidinyl]-1,2,4-oxadiazol-3-yl]-5-methoxy- (9CI)
MF C23 H26 Cl F N4 O3



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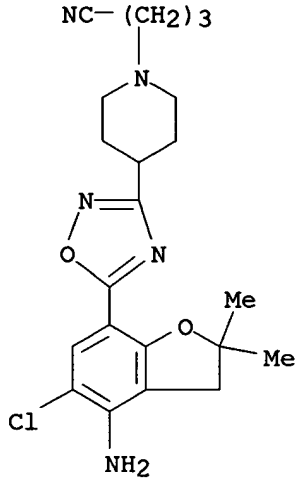
IN 1-Piperidinebutanenitrile, 4-[5-(4-amino-5-chloro-2,3-dihydro-2,2-dimethyl-7-benzofuranyl)-1,2,4-oxadiazol-3-yl]- (9CI)
MF C21 H26 Cl N5 O2



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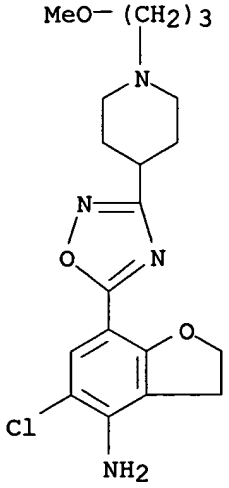
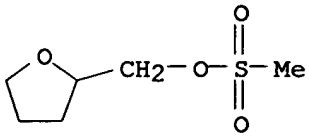
IN 4-Benzofuranamine, 5-chloro-2,3-dihydro-7-[3-[1-(3-methoxypropyl)-4-piperidinyl]-1,2,4-oxadiazol-5-yl]-, monohydrochloride (9CI)
MF C19 H25 Cl N4 O3 . Cl H



● HCl

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2-Furanmethanol, tetrahydro-, methanesulfonate (9CI)
MF C6 H12 O4 S



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IN Butanenitrile, 4-bromo- (9CI)
MF C4 H6 Br N

Br—(CH2)3—CN

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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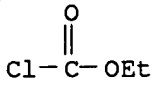
MF C3 H5 Cl O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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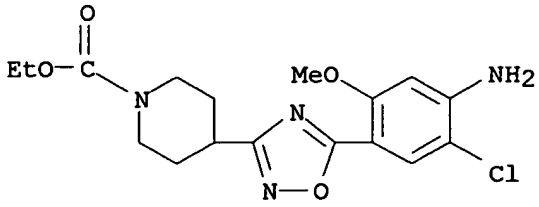
MF C17 H21 Cl N4 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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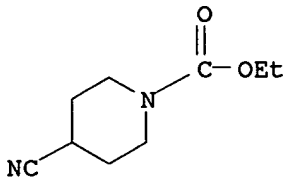
IN 1-Piperidinecarboxylic acid, 4-cyano-, ethyl ester (9CI)
MF C9 H14 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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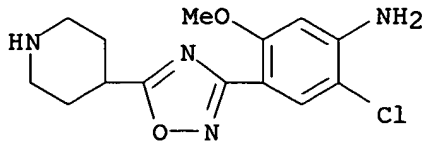

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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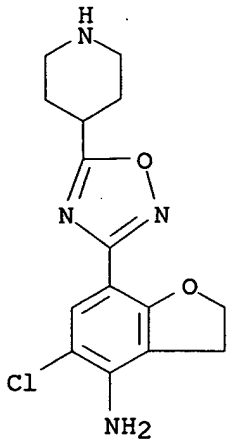
IN 4-Benzofuranamine, 5-chloro-2,3-dihydro-7-[5-(4-piperidinyl)-1,2,4-oxadiazol-3-yl]- (9CI)
MF C15 H17 Cl N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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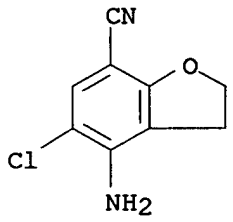
MF C9 H7 Cl N2 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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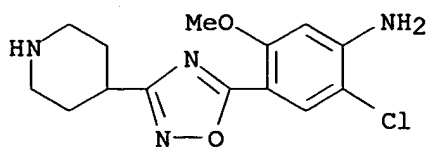
MF C14 H17 Cl N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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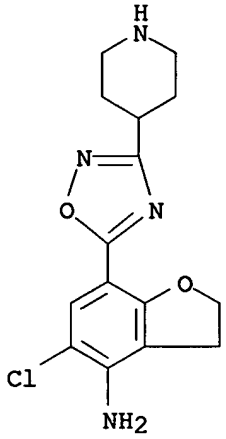
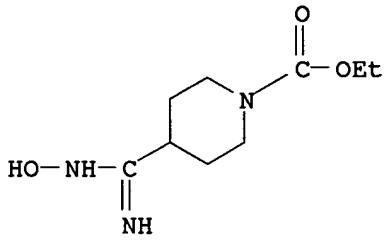
IN 4-Benzofuranamine, 5-chloro-2,3-dihydro-7-[3-(4-piperidinyl)-1,2,4-oxadiazol-5-yl]- (9CI)
MF C15 H17 Cl N4 O2

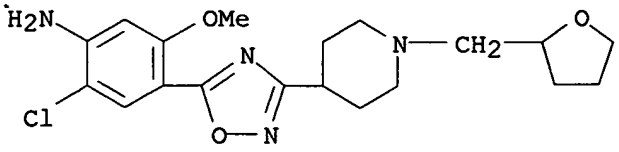


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1-Piperidinecarboxylic acid, 4-[(hydroxyamino)iminomethyl]-, ethyl ester, monohydrochloride (9CI)
MF C9 H17 N3 O3 . Cl H

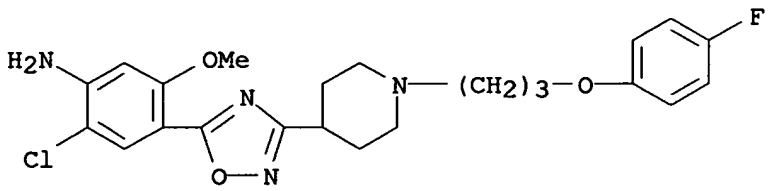


L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzenamine, 2-chloro-5-methoxy-4-[3-[1-[(tetrahydro-2-furanyl)methyl]-4-piperidinyl]-1,2,4-oxadiazol-5-yl]- (9CI)
MF C19 H25 Cl N4 O3



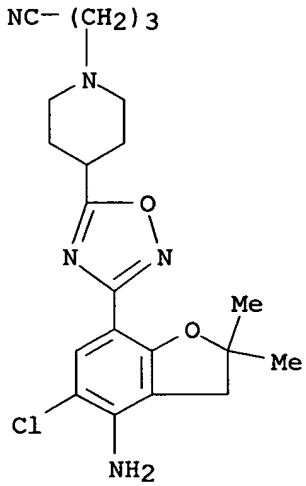
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzenamine, 2-chloro-4-[3-[1-[3-(4-fluorophenoxy)propyl]-4-piperidinyl]-1,2,4-oxadiazol-5-yl]-5-methoxy- (9CI)
MF C23 H26 Cl F N4 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

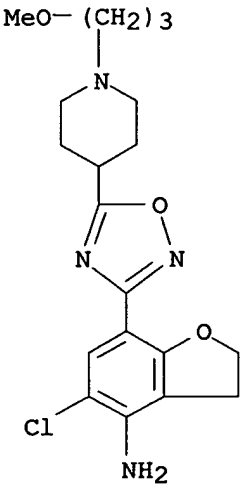
L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1-Piperidinebutanenitrile, 4-[3-(4-amino-5-chloro-2,3-dihydro-2,2-dimethyl-7-benzofuranyl)-1,2,4-oxadiazol-5-yl]- (9CI)
MF C21 H26 Cl N5 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

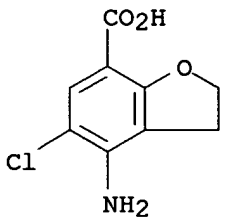
L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN 4-Benzofuranamine, 5-chloro-2,3-dihydro-7-[5-[1-(3-methoxypropyl)-4-piperidinyl]-1,2,4-oxadiazol-3-yl]- (9CI)
MF C19 H25 Cl N4 O3



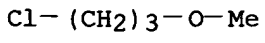
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 7-Benzofurancarboxylic acid, 4-amino-5-chloro-2,3-dihydro- (9CI)
MF C9 H8 Cl N O3



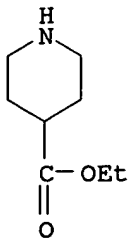
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Propane, 1-chloro-3-methoxy- (9CI)
MF C4 H9 Cl O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 31 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 4-Piperidinecarboxylic acid, ethyl ester (9CI)
MF C8 H15 N O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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=> s us2006122166/pn
L1            1 US2006122166/PN

=> d bib

L1   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:531360  CAPLUS
DN   141:88873
TI   Preparation of heterocyclylalkyl substituted cyclohexyl compounds as CCR5
     antagonists
IN   Duan, Maosheng; Kazmierski, Wieslaw Mieczyslaw; Aquino, Christopher Joseph
PA   Smithkline Beecham Corporation, USA
SO   PCT Int. Appl., 103 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
PI   WO 2004054581         A2    20040701    WO 2003-US39732         20031212
     WO 2004054581         A3    20050203
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003297048         A1    20040709    AU 2003-297048          20031212
     EP 1569647            A2    20050907    EP 2003-813435          20031212
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006514646         T2    20060511    JP 2004-560857          20031212
     US 2006122166         A1    20060608    US 2005-538135          20050609 <--
PRAI US 2002-433552P       P     20021213
     WO 2003-US39732       W     20031212
OS   MARPAT 141:88873
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=> s l2
L3          205 L2

=> s l3 and piperidin?(l)(thiadiaz? or oxadiaz? or triaz?)
          963885 PIPERIDIN?
          253628 THIADIAZ?
          224301 OXADIAZ?
         1012142 TRIAZ?
           48713 PIPERIDIN?(L)(THIADIAZ? OR OXADIAZ? OR TRIAZ?)
L4            2 L3 AND PIPERIDIN?(L)(THIADIAZ? OR OXADIAZ? OR TRIAZ?)

=> d 1-2

L4   ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   714968-13-5  REGISTRY
ED   Entered STN:  23 Jul 2004
CN   Carbamic acid, methyl[trans-4-[2-[4-[3-(4-nitrophenyl)-1,2,4-
     oxadiazol-5-yl]-1-piperidinyl]ethyl]-4-phenylcyclohexyl]-, phenylmethyl
     ester (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C36 H41 N5 O5
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER

Relative stereochemistry.
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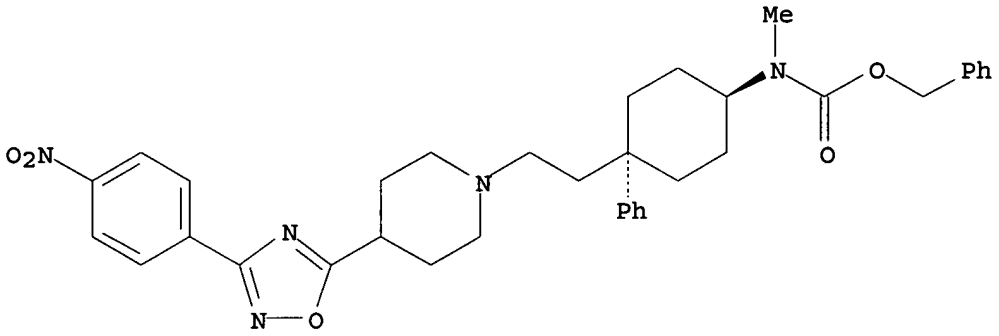


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

              1 REFERENCES IN FILE CA (1907 TO DATE)
              1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4   ANSWER 2 OF 2  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   276237-01-5  REGISTRY
ED   Entered STN:  11 Jul 2000
CN   Piperidine, 4-[3-(4-nitrophenyl)-1,2,4-oxadiazol-5-yl]- (9CI)
     (CA INDEX NAME)
FS   3D CONCORD
MF   C13 H14 N4 O3
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER
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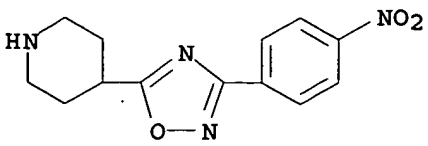

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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=> s bipheny?(l)bioisos?
         93977 BIPHENY?
           944 BIOISOS?
L1          10 BIPHENY?(L)BIOISOS?

=> d bib hit 1-10

L1   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:128488  CAPLUS
DN   144:369975
TI   8-Fluoroimidazo[1,2-a]pyridine: Synthesis, physicochemical properties and
     evaluation as a bioisosteric replacement for imidazo[1,2-a]pyrimidine in
     an allosteric modulator ligand of the GABAA receptor
AU   Humphries, Alexander C.; Gancia, Emanuela; Gilligan, Myra T.; Goodacre,
     Simon; Hallett, David; Merchant, Kevin J.; Thomas, Steve R.
CS   Merck Sharp and Dohme, Terlings Park, The Neuroscience Research Centre,
     Harlow, Essex, CM20 2QR, UK
SO   Bioorganic & Medicinal Chemistry Letters (2006), 16(6), 1518-1522
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier B.V.
DT   Journal
LA   English
RE.CNT  25    THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB   8-Fluoroimidazo[1,2-a]pyridine has been established as a physicochem.
     mimic of imidazo[1,2-a]pyrimidine, using both in silico and traditional
     techniques. Furthermore, a novel synthesis of a 3,7-disubstituted-8-
     fluoroimidazopyridine 3 has been developed and the utility of the
     physicochem. mimicry has been demonstrated in an in vitro system. Here,
     the 8-fluoroimidazopyridine ring contained in ligand 2'-fluoro-5'-[8-
     fluoro-7-(2-hydroxy-2-propyl)imidazo[1,2-a]pyridin-3-yl]biphenyl
     -2-carbonitrile acts as a bioisosteric replacement for
     imidazopyrimidine in the GABAA receptor modulator 2'-fluoro-5'-[7-(1-
     hydroxy-1-methylethyl)imidazo[1,2-a]pyrimidin-3-yl]biphenyl
     -2-carbonitrile.
IT   461449-33-2, 2'-Fluoro-5'-[7-(1-hydroxy-1-methylethyl)imidazo[1,2-
     a]pyrimidin-3-yl]biphenyl-2-carbonitrile   882187-77-1
     RL: PAC (Pharmacological activity); BIOL (Biological study)
        (preparation of derivs. of (fluoro)imidazo[1,2-a]pyridine and study of its
        physicochem. properties and evaluation as bioisosteric
        replacement for imidazo[1,2-a]pyrimidine in allosteric modulator ligand
        of GABAA receptor)
IT   628690-69-7P, 2'-Fluoro-5'-[8-fluoro-7-(2-hydroxypropan-2-yl)imidazo[1,2-
     a]pyridin-3-yl]biphenyl-2-carbonitrile
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation)
        (preparation of imidazo[1,2-a]pyridine, study of its properties, its
        availability as bioisosteric replacement for
        imidazo[1,2-a]pyrimidine in allosteric modulator ligand of GABAA
        receptor and its activity as GABAA α3-receptor subtype agonist)

L1   ANSWER 2 OF 10  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:331777  CAPLUS
DN   143:43827
TI   Design, Synthesis, and Antipicornavirus Activity of 1-[5-(4-
     Arylphenoxy)alkyl]-3-pyridin-4-ylimidazolidin-2-one Derivatives
AU   Chang, Chih-Shiang; Lin, Ying-Ting; Shih, Shin-Ru; Lee, Chung-Chi; Lee,
     Yen-Chun; Tai, Chia-Liang; Tseng, Sung-Nien; Chern, Jyh-Haur
CS   Division of Biotechnology and Pharmaceutical Research, National Health
     Research Institutes, Zhunan, 350, Taiwan
SO   Journal of Medicinal Chemistry (2005), 48(10), 3522-3535
     CODEN: JMCMAR; ISSN: 0022-2623
PB   American Chemical Society
```

DT Journal
LA English
OS CASREACT 143:43827
RE.CNT 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB A series of pyridylimidazolidinone derivs. was synthesized and tested in vitro against enterovirus 71 (EV71). On the basis of DBPR103 (I), introduction of a Me group at the 2- or 3-position of the linker between the imidazolidinone and the biphenyl resulted in markedly improved antiviral activity toward EV71 with IC50 values of 5.0 nM and 9.3 nM, resp. Increasing the branched chain to Pr resulted in a progressive decrease in activity, while inserting different heteroatoms entirely rendered the compound only weakly active. The introduction of a bulky group (cyclohexyl, Ph, or benzyl) led to loss of activity against EV71. The 4-chlorophenyl moiety was replaced with bioisosteric groups such as oxadiazole or tetrazole dramatically improving anti-EV71 activity and selectivity indexes. Some of these compds. exhibited a strong activity against lethal EV71, and no apparent cellular toxicity was observed Three of the more potent imidazolidinone compds. were subjected to a large group of picornaviruses to determine their spectrum of antiviral activity.

L1 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:658158 CAPLUS
TI 4-Hydroxy-phenyl aryloximes as estrogen receptor-beta (ERβ) selective ligands
AU Cohn, Stephen; Harris, Heather; Manas, Eric; Mewshaw, Richard E.
CS Department of Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426, USA
SO Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), MEDI-295 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69FTZ8
DT Conference; Meeting Abstract
LA English
AB The development of potent and selective estrogen receptor beta (ERβ) ligands is essential in identifying therapeutic possibilities for the ERβ receptor. Recently, we discovered that oxime moieties could mimic the 17β-OH group of estradiol. Herein, we will discuss the identification and development of 4-hydroxy-Ph aryloximes as a novel class of selective, non-steroidal ERβ ligands that exploit the oxime bioisosteres. Several substituted 4-OH-Ph aryloximes exhibit significant affinity and selectivity for β receptor. The design, synthesis and SAR of the substituted 4-OH-biphenyl oxime template 1 and the indole oxime template 2 will be described.

L1 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:88088 CAPLUS
DN 139:307562
TI 1-(4-biphenylyl)ethylnitramine, bioisostere profene
AU Unterhalt, B.; Adam, T.
CS Institut fuer Pharmazeutische und Medizinische Chemie der Westfaelischen Wilhelms-Universitaet Muenster, Muenster, D-48149, Germany
SO Scientia Pharmaceutica (2002), 70(4), 353-358
CODEN: SCPHA4; ISSN: 0036-8709
PB Oesterreichische Apotheker-Verlagsgesellschaft
DT Journal
LA German
OS CASREACT 139:307562
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI 1-(4-biphenylyl)ethylnitramine, bioisostere profene
IT Alcohols, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-(4-biphenylyl)ethylnitramines from 1-(4-biphenylyl)-ethanols and Et N-nitro-carbamate as bioisostere profene)

IT Nitramines
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1-(4-biphenylyl)ethylnitramines from 1-(4-biphenylyl)-ethanols and Et N-nitro-carbamate as bioisostere profene)

IT 345-54-0P 3562-73-0P 611238-54-1P 611238-58-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-(4-biphenylyl)ethylnitramines from 1-(4-biphenylyl)-ethanols and Et N-nitro-carbamate as bioisostere profene)

IT 611238-62-1P 611238-64-3P 611238-66-5P 611238-68-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1-(4-biphenylyl)ethylnitramines from 1-(4-biphenylyl)-ethanols and Et N-nitro-carbamate as bioisostere profene)

IT 67-63-0, 2-Propanol, reactions 92-91-1, 4-Acetylbiphenyl 345-55-1 53591-79-0 611238-50-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting materials; preparation of 1-(4-biphenylyl)ethylnitramines from 1-(4-biphenylyl)-ethanols and Et N-nitro-carbamate as bioisostere profene)

L1 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:923788 CAPLUS
DN 136:53765
TI Preparation of bioisosteric benzamide derivatives and their use as apoB-100 secretion inhibitors
IN Dodic, Nerina
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096327	A1	20011220	WO 2001-EP6243	20010601
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1289982	A1	20030312	EP 2001-960259	20010601
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004503549	T2	20040205	JP 2002-510469	20010601
	US 2004009988	A1	20040115	US 2003-296795	20030520
PRAI	GB 2000-13383	A	20000601		
	WO 2001-EP6243	W	20010601		

OS MARPAT 136:53765
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
ST bioisosteric benzamide prepn apoB 100 secretion inhibitor; apoprotein B 100 secretion inhibitor bioisosteric benzamide prepn; microsomal triglyceride transfer protein secretion inhibitor; MTP secretion inhibitor; biphenylcarboxamide prepn treatment

atherosclerosis; insulin dependent diabetes mellitus NIDDM treatment; coronary heart disease obesity treatment piperazinylpyridine prepn; hyperlipidemia postprandial hyperlipemia mixed dyslipidemia hyperlipoproteinemia treatment biphenylcarboxamide prepn; hypercholesterolemia hypertriglyceridemia treatment biphenylcarboxamide prepn

L1 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:900245 CAPLUS
DN 136:272649
TI Synthesis and biological evaluation of new 4-arylpiperidines and 4-aryl-4-piperidinols: dual Na+ and Ca2+ channel blockers with reduced affinity for dopamine D2 receptors
AU Annoura, Hirokazu; Nakanishi, Kyoko; Uesugi, Mayumi; Fukunaga, Atsuko; Imajo, Seiichi; Miyajima, Atsuko; Tamura-Horikawa, Yoshiko; Tamura, Shigeki
CS Suntory Biomedical Research Limited, Mishima-gun, Shimamoto-cho, Wakayamadai, Osaka, 618-8503, Japan
SO Bioorganic & Medicinal Chemistry (2001), Volume Date 2002, 10(2), 371-383
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 136:272649
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB A series of novel 4-arylpiperidines and 4-aryl-4-piperidinols was synthesized and evaluated for blocking effects on both neuronal Na+ and T-type Ca2+ channels and binding affinity for dopamine D2 receptors. Most of the compds. blocked both ion channels with potency greater than or equal to flunarizine which was used as a reference standard In addition, these compds. had significantly reduced affinity for dopamine D2 receptors which is common in this class of structure. Some of the compds. exhibited potent anticonvulsant effects on audiogenic seizures in DBA/2 mice, indicating their excellent brain permeability. Neuroprotective activity was also assessed in a transient middle cerebral artery occlusion (MCAO) model. Three compds. significantly reduced neuronal damage without affecting ischemic hyperthermia, while flunarizine produced only minor redns. In particular, I had 1.7-fold the potency in this MCAO model but only 1/20 the affinity for dopamine D2 receptors as flunarizine. Cinnamyl, phenacyl and phenoxypropanol groups appeared to be structurally and biol. equivalent Moreover, di-Ph ether and biphenyl groups occupy a similar space, suggesting that both groups act as a bioisostere for the blockade of ion channels; however, this is not the case for dopamine D2 receptors since only biphenyl compds. had high affinity similar to flunarizine. Compound I (SUN N5030) has a good pharmacol. profile and may be useful in the alleviation and treatment of ischemic diseases.

L1 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:671595 CAPLUS
DN 132:161012
TI New non-peptide angiotensin II receptor antagonists, 1. Substituted quinoline derivatives
AU Jiang, Xuntian; Xu, Tianlin; Hua, Weiyi; Zhu, Dongya; Yu, Jing; Liang, Shaomei
CS New Drugs Research Center, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SO Journal of Chinese Pharmaceutical Sciences (1999), 8(3), 123-134
CODEN: JCHSE4; ISSN: 1003-1057
PB Beijing Medical University, School of Pharmaceutical Sciences
DT Journal
LA English
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The design, synthesis and angiotensin II (A II) antagonist activities of a series of quinoline derivs. (I.apprx.III) with ZD-8731 as lead compound are described. The biphenyl tetrazole moiety of ZD-8731 was replaced by bioisosteric N-phenylpyrrole carboxylic acid, N-phenylpyrrole tetrazole and phenoxyphenylacetic acid to give compds. (I), (II) and (III), resp. However, these changes proved to be detrimental to activities. In a test for antagonizing A II in vitro using isolated rabbit aorta rings, all the compds. exerted competitive antagonism. The most potent active angiotensin II receptor antagonists of these series were (Id) (pA2=6.8), (IIa) (pA2=7.7) and (IIIc) (pA2=7.2), resp., which had the activity 1/40, 1/5 and 1/12 that of ZD-8731 (pA2=8.4), resp. Their structure-activity relationships and conformational comparison are discussed.

L1 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:924327 CAPLUS
TI Orally active AII antagonists: 2-butyl-5-chloro-3-{5-[2-(1H-tetrazol-5-YL-phenyl)-phenyl]-pyrimidin-2-ylmethyl}-3H-imidazole-4-carboxylic acid and related analogs.
AU Dina, Michael S.; Zembrowski, William J.; Bussolotti, Donald L.; Aldinger, Charles E.; Boss, Holly A.; Ellery, Suzanne S.; MacAndrew, Joseph T.; Burkhard, Michael R.; Rauch, Albert L.; et al.
CS Pfizer Inc., Groton, CT, 06340, USA
SO Book of Abstracts, 210th ACS National Meeting, Chicago, IL, August 20-24 (1995), Issue Pt. 2, MEDI-063 Publisher: American Chemical Society, Washington, D. C.
CODEN: 61XGAC
DT Conference; Meeting Abstract
LA English
AB Since the discovery of AII antagonists losartan (1) and its metabolite, EXP 3174, (2), there has been an intense effort by several labs. to search for newer and potentially superior antagonists. Because of a paucity of knowledge pertaining to potential heterocyclic bioisosteres of the biphenyl moiety, we focused our effort on electron deficient heterocycles such as pyridazine, pyridine and pyrimidine to design new AII antagonists. Compds. 9 and 22 were especially potent antagonists with oral antihypertensive activity in animal models.

L1 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:667003 CAPLUS
DN 123:284890
TI Novel Angiotensin II Receptor Antagonists. Design, Synthesis, and in Vitro Evaluation of Dibenzo[a,d]cycloheptene and Dibenzo[b,f]oxepin Derivatives. Searching for Bioisosteres of Biphenyltetrazole Using a Three-Dimensional Search Technique
AU Kiyama, Ryuichi; Honma, Tsunetoshi; Hayashi, Kunio; Ogawa, Masayoshi; Hara, Mariko; Fujimoto, Masafumi; Fujishita, Toshio
CS Shionogi Research Laboratories, Shionogi Co. Ltd, Osaka, 553, Japan
SO Journal of Medicinal Chemistry (1995), 38(14), 2728-41
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
TI Novel Angiotensin II Receptor Antagonists. Design, Synthesis, and in Vitro Evaluation of Dibenzo[a,d]cycloheptene and Dibenzo[b,f]oxepin Derivatives. Searching for Bioisosteres of Biphenyltetrazole Using a Three-Dimensional Search Technique
AB Three-dimensional substructure searching (3D search), using the program MACCS-3D, was utilized for designing novel angiotensin II receptor antagonists which contain a bioisostere of the biphenyltetrazole moiety of DuP 753. A 3D query was prepared from an overlay model of substructures of several potent AII antagonists. The search system retrieved 139 compds. from the database MDDR-3D, which

consisted of 29,400 medicinal patent compds. A tricyclic compound was selected from the retrieved compds. and then evolved by considering steric fitness to the overlay model and synthetic feasibility. Finally, various novel AII antagonists having dibenzo[a,d]cycloheptene or dibenzo[b,f]oxepin were designed and synthesized. The receptor binding activity (Ki) for several members of this series was in the 10-10 M range, demonstrating the ability of 3D search technique to explore new lead structures.

IT Isosteric compounds
(bio-, searching for bioisosteres of biphenyltetrazole using a three-dimensional search technique)

IT 124750-99-8, DuP 753
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(designing angiotensin II receptor antagonists containing a bioisostere of the biphenyltetrazole moiety of DuP 753)

IT 62778-17-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(searching for bioisosteres of biphenyltetrazole using a three-dimensional search technique)

L1 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:625916 CAPLUS
DN 119:225916
TI Synthesis of N-alkyl-1,2,4-oxadiazinones as angiotensin-II (AT1) receptor antagonists
AU Weller, Harold N.; Miller, Arthur V.; Dickinson, Kenneth E. J.; Hedberg, S. Anders; Delaney, Carol L.; Serafino, Randolph P.; Moreland, Suzanne
CS Bristol-Myers Squibb Pharm. Res. Inst., Princeton, NJ, 08543-4000, USA
SO Heterocycles (1993), 36(5), 1027-38
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 119:225916
AB 4-Alkyl-1,2,4-oxadiazinones I (R = alkyl) were prepared by regiospecific alkylation of the corresponding 4H-oxadiazinones, which were prepared by a trimethylaluminum mediated cyclization reaction. Alkylation was regiospecific and generally facile; in the case of (butyl)(phenyl)oxadiazinone, however, an unusual fragmentation reaction occurred. A homochiral oxadiazinone was also prepared and alkylated under the described conditions. 4-Biphenylmethyl-1,2,4-oxadiazinones were potent angiotensin II receptor antagonists. The imidazole ring in angiotensin II antagonists such as EXP-7711 were replaced by bioisosteric heterocycles. The effects of the replacement of the carboxy group in I was discussed.